IN THE CLAIMS

The status of each claim is listed below:

Claims 1-208: Canceled.

209. (Previously Presented) A compound represented by formula (I):

$$\begin{array}{c|c}
X & 6 & N & 2 & NHR^1 \\
\hline
X & 6 & N & 2 & NHR^2 \\
\hline
Y & N & NHR^2 & R^4
\end{array}$$
(I)

wherein

X is hydrogen, halogen, trifluoromethyl, lower alkyl, unsubstituted or substituted phenyl, lower alkyl-thio, phenyl-lower alkyl-thio, lower alkyl-sulfonyl, or phenyl-lower alkyl-sulfonyl;

Y is hydrogen, hydroxyl, mercapto, lower alkoxy, lower alkyl-thio, halogen, lower alkyl, unsubstituted or substituted mononuclear aryl, or $-N(R^2)_2$;

R¹ is hydrogen or lower alkyl;

each R^2 is, independently, $-R^7$, $-(CH_2)_m$ -OR⁸, $-(CH_2)_m$ -NR⁷R¹⁰, $-(CH_2)_n(CHOR^8)(CHOR^8)_n$ -CH₂OR⁸, $-(CH_2CH_2O)_m$ -R⁸, $-(CH_2CH_2O)_m$ -CH₂CH₂NR⁷R¹⁰, $-(CH_2)_n$ -C(=O)NR⁷R¹⁰, $-(CH_2)_n$ -Zg-R⁷, $-(CH_2)_m$ -NR¹⁰-CH₂(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸, $-(CH_2)_n$ -CO₂R⁷, or

$$-(CH_2)_n$$
 O
 R^7
 R^7

R³ and R⁴ are each, independently, hydrogen, a group represented by formula (A), lower alkyl, hydroxy lower alkyl, phenyl, phenyl-lower alkyl, (halophenyl)-lower alkyl, lower-(alkylphenylalkyl), lower (alkoxyphenyl)-lower alkyl, naphthyl-lower alkyl, or

pyridyl- lower alkyl, with the proviso that at least one of R³ and R⁴ is a group represented by formula (A):

$$--(C(R^{L})_{2})_{o}--x--(C(R^{L})_{2})_{p}--Q = Q$$

$$Q = Q$$

$$Q = Q$$

$$Q = Q$$

$$(R^{6})_{4}$$
(A)

wherein

each R^L is, independently, $-R^7$, $-(CH_2)_n$ -OR⁸, -O- $(CH_2)_m$ -OR⁸, $-(CH_2)_n$ -NR⁷R¹⁰, -O- $(CH_2)_m$ -NR⁷R¹⁰, $-(CH_2)_n$ (CHOR⁸)(CHOR⁸)_n-CH₂OR⁸, -O- $(CH_2)_m$ (CHOR⁸)(CHOR⁸)_n-CH₂OR⁸, $-(CH_2CH_2O)_m$ -R⁸, $-(CH_2CH_2O)_m$ -CH₂CH₂NR⁷R¹⁰, -O- $(CH_2CH_2O)_m$ -CH₂CH₂NR⁷R¹⁰, $-(CH_2)_n$ -C(=O)NR⁷R¹⁰, -O- $(CH_2)_m$ -C(=O)NR⁷R¹⁰, $-(CH_2)_n$ -(CH₂)_n-C(=O)NR⁷R¹⁰, $-(CH_2)_n$ -C(=O)NR⁷R¹⁰, $-(CH_2)_n$ -O- $-(CH_2)_m$ -C(=O)NR¹⁰-CH₂(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸, -O- $-(CH_2)_m$ -NR¹⁰-CH₂(CHOR⁸)(CHOR⁸)_n-CH₂OR⁸, -O- $-(CH_2)_n$ -CO₂R⁷, -O- $-(CH_2)_m$ -CO₂R⁷, -O-glucuronide, -O-glucose,

$$-O + CH_2 \longrightarrow R^7 \quad \text{or} \quad -(CH_2)_n \longrightarrow Q \qquad R^7 \quad ;$$

each o is, independently, an integer from 0 to 10; each p is an integer from 0 to 10;

with the proviso that the sum of o and p in each contiguous chain is from 1 to 10;

each x is, independently, O, NR^{10} , C(=O), CHOH, C(=N- R^{10}), CHNR⁷ R^{10} , or represents a single bond;

each R^5 is, independently, $-O-CH_2-(C=O)NH-(C=O)CH_3$, $-(CH_2)_n-(C=NH)-NH_2$, $-(CH_2)_n-NH-C(=NH)-NH_2$, $-(CH_2)_n-CONHCH_2(CHOH)_n-CH_2OH$, $-NH-C(=O)-NH_2$

```
CH<sub>2</sub>-(CHOH)<sub>n</sub>CH<sub>2</sub>OH, -NH-(C=O)-NH-CH<sub>2</sub>(CHOH)<sub>n</sub>CHOH, -O-(CH<sub>2</sub>)<sub>m</sub>-NH-
C(=NH)-N(R<sup>7</sup>)<sub>2</sub>, -O-(CH<sub>2</sub>)<sub>m</sub>-CHNH<sub>2</sub>-CONR<sup>7</sup>R<sup>10</sup>, -O-CH<sub>2</sub>CHOHCH<sub>2</sub>O-glucuronide, -
OCH<sub>2</sub>CO<sub>2</sub>H, -NHCH<sub>2</sub>(CHOH)<sub>2</sub>-CH<sub>2</sub>OH, -OCH<sub>2</sub>CO<sub>2</sub>Et, -NHSO<sub>2</sub>CH<sub>3</sub>, -O-
CH_2C(=O)NH_2, -CH_2NH_2, -NHCO_2Et, -OCH_2CH_2CH_2CH_2OH, -CH_2NHSO_2CH_3,
-OCH<sub>2</sub>CH<sub>2</sub>CHOHCH<sub>2</sub>OH, -OCH<sub>2</sub>CH<sub>2</sub>NHCO<sub>2</sub>Et, -NH-C(=NH<sub>2</sub>)-NH<sub>2</sub>,
-CH<sub>2</sub>CH-CH-CH<sub>2</sub>OH, -CH<sub>2</sub>-CHOH-CH<sub>2</sub>-NHBoc, -O-CH<sub>2</sub>-CHOH-CH<sub>2</sub>-NHBoc,
-OCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -OCH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>(CHOH)<sub>2</sub>CH<sub>2</sub>OH,
-OCH<sub>2</sub>CH<sub>2</sub>NH(CH<sub>2</sub>[(CHOH)<sub>2</sub>CH<sub>2</sub>OH)]<sub>2</sub>, -(CH<sub>2</sub>)<sub>4</sub>-NHBoc, -(CH<sub>2</sub>)<sub>4</sub>-NH<sub>2</sub>, -(CH<sub>2</sub>)<sub>4</sub>-OH,
-OCH<sub>2</sub>CH<sub>2</sub>NHSO<sub>2</sub>CH<sub>3</sub>, -(CH<sub>2</sub>)<sub>3</sub>-NHBoc, -(CH<sub>2</sub>)<sub>3</sub>NH<sub>2</sub>, -O-CH<sub>2</sub>-CHOH-CH<sub>2</sub>-NH-
C(=NH)-N(R<sup>7</sup>)<sub>2</sub>, para-(CH<sub>2</sub>)<sub>4</sub>-OH, para-O-(CH<sub>2</sub>)<sub>4</sub>-OH, para-NHSO<sub>2</sub>CH<sub>3</sub>, para-
CH<sub>2</sub>NH(C=O)O-C(CH<sub>3</sub>)<sub>3</sub>, para-NH(C=O)CH<sub>3</sub>, para-CH<sub>2</sub>NH<sub>2</sub>, para-NH-CO<sub>2</sub>C<sub>2</sub>H<sub>5</sub>,
para-CH<sub>2</sub>NH(C=O)CH<sub>3</sub>, para-CH<sub>2</sub>NHCO<sub>2</sub>CH<sub>3</sub>, para-CH<sub>2</sub>NHSO<sub>2</sub>CH<sub>3</sub>, para-(CH<sub>2</sub>)<sub>4</sub>-
NH(C=O)OC(CH<sub>3</sub>)<sub>3</sub>, para-(CH<sub>2</sub>)<sub>4</sub>-NH<sub>2</sub>, para-(CH<sub>2</sub>)<sub>3</sub>-NH(C=O)OC(CH<sub>3</sub>)<sub>3</sub>, para-
(CH<sub>2</sub>)<sub>3</sub>-NH<sub>2</sub>, para-OCH<sub>2</sub>CH<sub>2</sub>NHCO<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>, para-OCH<sub>2</sub>CH<sub>2</sub>NHCO<sub>2</sub>C<sub>2</sub>H<sub>5</sub>, para-O-
(CH<sub>2</sub>)<sub>3</sub>-NH-CO<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>, para-O(CH<sub>2</sub>)<sub>3</sub>-NH<sub>2</sub>, para-OCH<sub>2</sub>CH<sub>2</sub>NHSO<sub>2</sub>CH<sub>3</sub>, para-
OCH<sub>2</sub>CHOHCH<sub>2</sub>O-glucuronide, para-OCH<sub>2</sub>CH<sub>2</sub>CHOHCH<sub>2</sub>OH, para-OCH<sub>2</sub>-(α-
CHOH)<sub>2</sub>CH<sub>2</sub>OH, para-OCH<sub>2</sub>-(CHOH)<sub>2</sub>CH<sub>2</sub>OH, para-C(=O)NH<sub>2</sub>, para-O-CH<sub>2</sub>-
(C=O)NHCH2CHOH, para-O-CH2-(C=O)NHCH2CHOHCH2OH, para-O-
CH<sub>2</sub>(C=O)NHCH<sub>2</sub>(CHOH)<sub>2</sub>CH<sub>2</sub>OH, para-O-CH<sub>2</sub>(C=O)NHSO<sub>2</sub>CH<sub>3</sub>, para-O-
CH<sub>2</sub>(C=O)NHCO<sub>2</sub>CH<sub>3</sub>, para-O-CH<sub>2</sub>-(C=O)NH-C(C=O)NH<sub>2</sub>, para-(C=NH)-NH<sub>2</sub>,
para-(CH<sub>2</sub>)<sub>3</sub>-NH-C(=NH)-NH<sub>2</sub>, para-CH<sub>2</sub>NH-C(=NH)-NH<sub>2</sub>, para-
NH(C=O)NHCH<sub>2</sub>CH<sub>2</sub>OH, para-O(CH<sub>2</sub>)<sub>3</sub>-NH-C(=NH)-NH<sub>2</sub>, para-OCH<sub>2</sub>-CHNH<sub>2</sub>-
CONH<sub>2</sub>, para-OCH<sub>2</sub>CHOH-CH<sub>2</sub>NHCO<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>, para-NHCH<sub>2</sub>(CHOH)<sub>2</sub>CH<sub>2</sub>OH,
para-OCH<sub>2</sub>CO<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>, para-OCH<sub>2</sub>CO<sub>2</sub>H, or para-OCH<sub>2</sub>CO<sub>2</sub>C<sub>2</sub>H<sub>5</sub>;
             each R^6 is, independently, -R^7, -OR^{11}, -N(R^7)_2, -(CH_2)_m-OR^8,
-O-(CH_2)_m-OR^8, -(CH_2)_n-NR^7R^{10}, -O-(CH_2)_m-NR^7R^{10},
-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8, -O-(CH_2)_m(CHOR^8)(CHOR^8)_n-CH_2OR^8,
-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>, -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>,
-O-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}, -(CH_2)_n-C(=O)NR^7R^{10},
-O-(CH_2)_m-C(=O)NR^7R^{10}, -(CH_2)_n-(Z)_g-R^7, -O-(CH_2)_m-(Z)_g-R^7,
-(CH<sub>2</sub>)<sub>n</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,
-O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,
-(CH_2)_n-CO_2R^7, -O-(CH_2)_m-CO_2R^7, -OSO_3H, -O-glucuronide, -O-glucose,
```

$$-O\left(CH_2\right)_{m}O\left(R^7\right), \quad \text{or } -(CH_2)_{n}-O\left(R^7\right);$$

wherein when two R^6 are $-OR^{11}$ and are located adjacent to each other on a phenyl ring, the alkyl moieties of the two R^6 may be bonded together to form a methylenedioxy group;

each R^7 is, independently, hydrogen or lower alkyl; each R^8 is, independently, hydrogen, lower alkyl, -C(=O)- R^{11} , glucuronide, 2-tetrahydropyranyl, or

each R¹⁰ is, independently, -H, -SO₂CH₃, -CO₂R⁷, -C(=O)NR⁷R⁹,
-C(=O)R⁷, or -CH₂-(CHOH)_n-CH₂OH;
each Z is, independently, CHOH, C(=O), CHNR⁷R¹⁰, C=NR¹⁰, or NR¹⁰;
each R¹¹ is, independently, lower alkyl;
each g is, independently, an integer from 1 to 6;
each m is, independently, an integer from 1 to 7;
each n is, independently, an integer from 0 to 7;
each Q is, independently, C-R⁵, C-R⁶, or a nitrogen atom, wherein at

each R^9 is, independently, $-CO_2R^7$, $-CON(R^7)_2$, $-SO_2CH_3$, or $-C(=O)R^7$:

most three Q in a ring are nitrogen atoms; or a pharmaceutically acceptable salt thereof, and

210. (Previously Presented) The compound of Claim 209, wherein Y is -NH₂.

inclusive of all enantiomers, diastereomers, and racemic mixtures thereof.

- 211. (Previously Presented) The compound of Claim 210, wherein R² is hydrogen.
- 212. (Previously Presented) The compound of Claim 211, wherein R¹ is hydrogen.
- 213. (Previously Presented) The compound of Claim 212, wherein X is chlorine.
- 214. (Previously Presented) The compound of Claim 213, wherein R³ is hydrogen.
- 215. (Previously Presented) The compound of Claim 214, wherein each R^L is hydrogen.
 - 216. (Previously Presented) The compound of Claim 215, wherein o is 4.
 - 217. (Previously Presented) The compound of Claim 216, wherein p is 0.
- 218. (Previously Presented) The compound of Claim 217, wherein x represents a single bond.
- 219. (Previously Presented) The compound of Claim 218, wherein each R⁶ is hydrogen.
- 220. (Previously Presented) The compound of Claim 219, wherein at most one Q is a nitrogen atom.
- 221. (Previously Presented) The compound of Claim 220, wherein no Q is a nitrogen atom.
 - 222. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-(CH₂)₄-OH.
 - 223. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-O-(CH₂)₄-OH.

- 224. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-NHSO₂CH₃.
- 225. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-CH₂NH(C=O)-OC(CH₃)₃.
 - 226. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-NH(C=O)CH₃.
 - 227. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-CH₂NH₂.
 - 228. (Previously Presented) The compound of Claim 209, wherein R^5 is para-NH-CO₂C₂H₅.
 - 229. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-CH₂NH(C=O)CH₃.
 - 230. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-CH₂NHCO₂CH₃.
 - 231. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-CH₂NHSO₂CH₃.
- 232. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-(CH₂)₄-NH(C=O)OC(CH₃)₃.
- 233. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-(CH₂)₄-NH₂.
- 234. (Previously Presented) The compound of Claim 209, wherein R^5 is para- $(CH_2)_3$ -NH(C=O)OC(CH₃)₃.

- 235. (Previously Presented) The compound of Claim 209, wherein R^5 is para- $(CH_2)_3$ - NH_2 .
- 236. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-OCH₂CH₂NHCO₂C(CH₃)₃.
- 237. (Previously Presented) The compound of Claim 209, wherein R^5 is para-OCH₂CH₂NHCO₂C₂H₅.
- 238. (Previously Presented) The compound of Claim 209, wherein R^5 is para-O-(CH₂)₃-NH-CO₂-C(CH₃)₃.
- 239. (Previously Presented) The compound of Claim 209, wherein R^5 is para-O(CH₂)₃-NH₂.
- 240. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-OCH₂CH₂NHSO₂CH₃.
- 241. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-OCH₂CHOHCH₂O-glucuronide.
- 242. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-OCH₂CH₂CHOHCH₂OH.
- 243. (Previously Presented) The compound of Claim 209, wherein R^5 is para-OCH₂- $(\alpha$ -CHOH)₂CH₂OH.
- 244. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-OCH₂-(CHOH)₂CH₂OH.
 - 245. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-C(=O)NH₂.

246. (Previously Presented) The compound of Claim 209, which is represented by the formula:

- 247. (Previously Presented) The compound of Claim 209, which is the methane sulfonic acid salt.
- 248. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-O-CH₂-(C=O)NHCH₂CHOH.
- 249. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-O-CH₂-(C=O)NHCH₂CHOHCH₂OH.
- 250. (Previously Presented) The compound of Claim 209, wherein R⁵ is para–O-CH₂(C=O)NHCH₂(CHOH)₂CH₂OH.
- 251. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-O-CH₂(C=O)NHSO₂CH₃.
- 252. (Previously Presented) The compound of Claim 209, wherein R⁵ is para–O-CH₂(C=O)NHCO₂CH₃.
- 253. (Previously Presented) The compound of Claim 209, wherein R^5 is para-O-CH₂-(C=O)NH-C(C=O)NH₂.
- 254. (Previously Presented) The compound of Claim 209, wherein R^5 is $-O-CH_2-(C=O)NH-(C=O)CH_3$.
- 255. (Previously Presented) The compound of Claim 209, wherein R⁵ is (CH₂)_n-(C=NH)-NH₂.

256. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-(C=NH)-NH₂.

- 257. (Previously Presented) The compound of Claim 209, wherein R^5 is $(CH_2)_n$ -NH-C(=NH)-NH₂.
- 258. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-(CH₂)₃-NH-C(=NH)-NH₂.
- 259. (Previously Presented) The compound of Claim 209, wherein R^5 is para-CH₂NH-C(=NH)-NH₂.
- 260. (Previously Presented) The compound of Claim 209, wherein R^5 is $(CH_2)_n$ -CONHCH₂(CHOH)_n-CH₂OH.
- 261. (Previously Presented) The compound of Claim 209, which is represented by the formula:

$$\begin{array}{c|c} O & NH & & & OH \\ \hline CI & N & NH & NH \\ H_2N & NH_2 & & NH_2 & & \end{array}$$

- 262. (Previously Presented) The compound of Claim 209, wherein R⁵ is NH-C(=O)-CH₂-(CHOH)_nCH₂OH.
- 263. (Previously Presented) The compound of Claim 209, which is represented by the formula:

$$\begin{array}{c|c} O & NH & H \\ \hline Cl & N & N \\ H_2N & NH_2 & H \end{array}$$

264. (Previously Presented) The compound of Claim 209, wherein R⁵ is -NH-(C=O)-NH-CH₂(CHOH)_nCHOH.

- 265. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-NH(C=O)NHCH₂CH₂OH.
- 266. (Previously Presented) The compound of Claim 209, wherein R^5 is -O-(CH₂)_m-NH-C(=NH)-N(R^7)₂.
- 267. (Previously Presented) The compound of Claim 209, which is represented by the formula:

$$\begin{array}{c|c} O & NH \\ \hline \\ Cl & N \\ H_2N & N \\ \end{array}$$

- 268. (Previously Presented) The compound of Claim 209, wherein R^5 is para-O(CH₂)₃-NH-C(=NH)-NH₂.
- 269. (Previously Presented) The compound of Claim 209, wherein R^5 is -O-(CH₂)_m-CHNH₂-CONR⁷R¹⁰.
- 270. (Previously Presented) The compound of Claim 209, wherein R^5 is para-OCH₂-CHNH₂-CONH₂.
- 271. (Previously Presented) The compound of Claim 209, which is the (R) enantiomer.
- 272. (Previously Presented) The compound of Claim 209, which is the (S) enantiomer.

273. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-OCH₂CHOH-CH₂NHCO₂C(CH₃)₃.

274. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-NHCH₂(CHOH)₂CH₂OH.

275. (Previously Presented) The compound of Claim 209, which is represented by the formula:

276. (Previously Presented) The compound of Claim 209, which is represented by the formula:

OH
$$(R)$$
 (S) $($

277. (Previously Presented) The compound of Claim 209, which is represented by the formula:

OH
$$(R)$$
 (S) $($

278. (Previously Presented) The compound of Claim 209, which is represented by the formula:

$$\begin{array}{c|c} O & NH & O & NH \\ \hline Cl & N & NH & O & OH \\ \hline H_2N & NH_2 & OH & OH \\ \hline \end{array}$$

279. (Previously Presented) The compound of Claim 209, which is represented by the formula:

280. (Previously Presented) The compound of Claim 209, wherein R^5 is para-OCH₂CO₂C(CH₃)₃.

281. (Previously Presented) The compound of Claim 209, wherein R⁵ is para-OCH₂CO₂H.

282. (Previously Presented) The compound of Claim 209, wherein R^5 is para-OCH₂CO₂C₂H₅.

283. (Previously Presented) The compound of Claim 209, wherein X is halogen; Y is $-N(R^7)_2$; R¹ is hydrogen or C₁-C₃ alkyl; R² is $-R^7$, $-(CH_2)_m$ -OR⁸, or $-(CH_2)_n$ -CO₂R⁷; R³ is a group represented by formula (A); and R⁴ is hydrogen, a group represented by formula (A), or lower alkyl.

284. (Previously Presented) The compound of Claim 209, wherein X is chloro or bromo;

Y is $-N(R^7)_2$;

 R^2 is hydrogen or C_1 - C_3 alkyl; at most three R^6 are other than hydrogen as defined above; at most three R^L are other than hydrogen as defined above; and at most 2 Q are nitrogen atoms.

- 285. (Previously Presented) The compound of Claim 209, wherein Y is -NH₂.
- 286. (Previously Presented) The compound of Claim 209, wherein R^4 is hydrogen; at most one R^L is other than hydrogen as defined above; at most two R^6 are other than hydrogen as defined above; and at most 1 Q is a nitrogen atom.
- 287. (Previously Presented) The compound of Claim 209, wherein R⁵ is -O-CH₂CHOHCH₂O-glucuronide, -OCH₂CO₂H, -NHCH₂(CHOH)₂-CH₂OH, -OCH₂CO₂Et,
- -NHSO₂CH₃,
- -O-CH₂C(=O)NH₂,
- -CH₂NH₂,

- -NHCO₂Et,
- -OCH₂CH₂CH₂CH₂OH,
- -CH2NHSO2CH3,
- -OCH₂CH₂CHOHCH₂OH,
- -OCH₂CH₂NHCO₂Et,
- $-NH-C(=NH_2)-NH_2$,
- -CH₂CH-CH-CH₂OH,
- -CH₂-CHOH-CH₂-NHBoc,
- -O-CH₂-CHOH-CH₂-NHBoc,
- -OCH₂CH₂CH₂NH₂,
- -OCH₂CH₂NHCH₂(CHOH)₂CH₂OH,
- $-OCH_2CH_2NH(CH_2[(CHOH)_2CH_2OH)]_2$,
- -(CH₂)₄-NHBoc,
- $-(CH_2)_4-NH_2$,
- -(CH₂)₄-OH,
- -OCH₂CH₂NHSO₂CH₃,
- -(CH₂)₃-NH Boc,
- -(CH₂)₃NH₂, or
- $-O-CH_2-CHOH-CH_2-NH-C(=NH)-N(R^7)_2$.

288. (Previously Presented) The compound of Claim 209, wherein

X is chloro or bromo;

Y is $-N(R^7)_2$;

R¹ is hydrogen or C₁-C₃ alkyl;

R² is hydrogen or C₁-C₃ alkyl;

R³ is a group represented by formula (A); and

R⁴ is hydrogen, a group represented by formula (A), or lower alkyl;

at most three R⁶ are other than hydrogen as defined above;

at most three R^L are other than hydrogen as defined above; and

at most 2 Q are nitrogen atoms.

289. (Previously Presented) The compound of Claim 288, wherein R^4 is hydrogen; at most one R^L is other than hydrogen as defined above; at most two R^6 are other than hydrogen as defined above; and at most 1 Q is a nitrogen atom.

290. (Previously Presented) The compound of Claim 289, wherein X is chloro or bromo; Y is $-N(R^7)_2$; R^1 is hydrogen or C_1 - C_3 alkyl; R^2 is hydrogen or C_1 - C_3 alkyl; R^3 is a group represented by formula (A); and R^4 is hydrogen, a group represented by formula (A), or lower alkyl; at most three R^6 are other than hydrogen as defined above; at most three R^L are other than hydrogen as defined above; and at most 2 Q are nitrogen atoms.

291. (Previously Presented) The compound of Claim 290, wherein R^4 is hydrogen; at most one R^L is other than hydrogen as defined above; at most two R^6 are other than hydrogen as defined above; and at most 1 Q is a nitrogen atom.

- 292. (Previously Presented) The compound of Claim 209, wherein x is a single bond.
- 293. (Previously Presented) The compound of Claim 209, which is in the form of a pharmaceutically acceptable salt.
 - 294. (Previously Presented) A composition, comprising: the compound of Claim 209; and a P2Y2 receptor agonist.

295. (Previously Presented) A composition, comprising: the compound of Claim 209; and a bronchodilator.

- 296. (Previously Presented) A pharmaceutical composition, comprising the compound of Claim 209 and a pharmaceutically acceptable carrier.
- 297. (Previously Presented) A method of promoting hydration of mucosal surfaces, comprising:

administering an effective amount of the compound of Claim 209 to a mucosal surface of a subject.

- 298. (Previously Presented) A method of restoring mucosal defense, comprising: topically administering an effective amount of the compound of Claim 209 to a mucosal surface of a subject in need thereof.
 - 299. (Previously Presented) A method of blocking sodium channels, comprising: contacting sodium channels with an effective amount of the compound of Claim 209.
- 300. (Previously Presented) A method of treating chronic bronchitis, comprising: administering an effective amount of the compound of Claim 209 to a subject in need thereof.
- 301. (Previously Presented) A method of treating cystic fibrosis, comprising: administering an effective amount of the compound of Claim 209 to a subject in need thereof.
- 302. (Previously Presented) A method of treating sinusitis, comprising: administering an effective amount of the compound of Claim 209 to a subject in need thereof.
- 303. (Previously Presented) A method of treating vaginal dryness, comprising: administering an effective amount of the compound of Claim 209 to the vaginal tract of a subject in need thereof.

304. (Previously Presented) A method of treating dry eye, comprising: administering an effective amount of the compound of Claim 209 to the eye of a subject in need thereof.

- 305. (Previously Presented) A method of promoting ocular hydration, comprising: administering an effective amount of the compound of Claim 209 to the eye of a subject.
- 306. (Previously Presented) A method of promoting corneal hydration, comprising: administering an effective amount of the compound of Claim 209 to the eye of a subject.
- 307. (Previously Presented) A method of promoting mucus clearance in mucosal surfaces, comprising:

administering an effective amount of the compound of Claim 209 to a mucosal surface of a subject.

- 308. (Previously Presented) A method of treating Sjogren's disease, comprising: administering an effective amount of the compound of Claim 209 to a subject in need thereof.
- 309. (Previously Presented) A method of treating distal intestinal obstruction syndrome, comprising:

administering an effective amount of the compound of Claim 209 to a subject in need thereof.

- 310. (Previously Presented) A method of treating dry skin, comprising: administering an effective amount of the compound of Claim 209 to the skin of a subject in need thereof.
- 311. (Previously Presented) A method of treating esophagitis, comprising: administering an effective amount of the compound of Claim 209 to a subject in need thereof.

312. (Previously Presented) A method of treating dry mouth (xerostomia), comprising:

administering an effective amount of the compound of Claim 209 to the mouth of a subject in need thereof.

- 313. (Previously Presented) A method of treating nasal dehydration, comprising: administering an effective amount of the compound of Claim 209 to the nasal passages of a subject in need thereof.
- 314. (Previously Presented) The method of Claim 211, wherein the nasal dehydration is brought on by administering dry oxygen to the subject.
- 315. (Previously Presented) A method of preventing ventilator-induced pneumonia, comprising:

administering an effective amount of the compound of Claim 209 to a subject on a ventilator.

- 316. (Previously Presented) A method of treating asthma, comprising: administering an effective amount of the compound of Claim 209 to a subject in need thereof.
- 317. (Previously Presented) A method of treating primary ciliary dyskinesia, comprising:

administering an effective amount of the compound of Claim 209 to a subject in need thereof.

318. (Previously Presented) A method of treating otitis media, comprising: administering an effective amount of the compound of Claim 209 to a subject in need thereof.

319. (Previously Presented) A method of inducing sputum for diagnostic purposes, comprising:

administering an effective amount of the compound of Claim 209 to a subject in need thereof.

320. (Previously Presented) A method of treating chronic obstructive pulmonary disease, comprising:

administering an effective amount of the compound of Claim 209 to a subject in need thereof.

- 321. (Previously Presented) A method of treating emphysema, comprising: administering an effective amount of the compound of Claim 209 to a subject in need thereof.
- 322. (Previously Presented) A method of treating pneumonia, comprising: administering an effective amount of the compound of Claim 209 to a subject in need thereof.
- 323. (Previously Presented) A method of treating constipation, comprising: administering an effective amount of the compound of Claim 209 to a subject in need thereof.
- 324. (Previously Presented) The method of Claim 321, wherein the compound is administered orally or via a suppository or enema.
- 325. (Previously Presented) A method of treating chronic diverticulitis, comprising: administering an effective amount of the compound of Claim 209 to a subject in need thereof.
- 326. (Previously Presented) A method of treating rhinosinusitis, comprising: administering an effective amount of the compound of Claim 209 to a subject in need thereof.

- 327. (Previously Presented) A method of treating hypertension, comprising administering the compound of Claim 209 to a subject in need thereof.
- 328. (Previously Presented) A method of reducing blood pressure, comprising administering the compound of Claim 209 to a subject in need thereof.
- 329. (Previously Presented) A method of treating edema, comprising administering the compound of Claim 209 to a subject in need thereof.
- 330. (Previously Presented) A method of promoting diuresis, comprising administering the compound of Claim 209 to a subject in need thereof.
- 331. (Previously Presented) A method of promoting natriuresis, comprising administering the compound of Claim 209 to a subject in need thereof.
- 332. (Previously Presented) A method of promoting saluresis, comprising administering the compound of Claim 209 to a subject in need thereof.
 - 333. (New) The compound of Claim 220, wherein R⁵ is para-(CH₂)₄-OH.
 - 334. (New) The compound of Claim 220, wherein R⁵ is para-O-(CH₂)₄-OH.
 - 335. (New) The compound of Claim 220, wherein R⁵ is para-NHSO₂CH₃.
- 336. (New) The compound of Claim 220, wherein R^5 is para-CH₂NH(C=O)-OC(CH₃)₃.
 - 337. (New) The compound of Claim 220, wherein R⁵ is para-NH(C=O)CH₃.
 - 338. (New) The compound of Claim 220, wherein R⁵ is para-CH₂NH₂.
 - 339. (New) The compound of Claim 220, wherein R⁵ is para-NH-CO₂C₂H₅.
 - 340. (New) The compound of Claim 220, wherein R⁵ is para-CH₂NH(C=O)CH₃.

- 341. (New) The compound of Claim 220, wherein R⁵ is para-CH₂NHCO₂CH₃.
- 342. (New) The compound of Claim 220, wherein R⁵ is para-CH₂NHSO₂CH₃.
- 343. (New) The compound of Claim 220, wherein R⁵ is para-(CH₂)₄-NH(C=O)OC(CH₃)₃.
 - 344. (New) The compound of Claim 220, wherein R⁵ is para-(CH₂)₄-NH₂.
- 345. (New) The compound of Claim 220, wherein R^5 is para- $(CH_2)_3$ - $NH(C=O)OC(CH_3)_3$.
 - 346. (New) The compound of Claim 220, wherein R⁵ is para-(CH₂)₃-NH₂.
- 347. (New) The compound of Claim 220, wherein R⁵ is para-OCH₂CH₂NHCO₂C(CH₃)₃.
- 348. (New) The compound of Claim 220, wherein R^5 is para-OCH₂CH₂NHCO₂C₂H₅.
- 349. (New) The compound of Claim 220, wherein R^5 is para-O-(CH₂)₃-NH-CO₂-C(CH₃)₃.
 - 350. (New) The compound of Claim 220, wherein R⁵ is para-O(CH₂)₃-NH₂.
 - 351. (New) The compound of Claim 220, wherein R⁵ is para-OCH₂CH₂NHSO₂CH₃.
- 352. (New) The compound of Claim 220, wherein R⁵ is para-OCH₂CHOHCH₂O-glucuronide.
- 353. (New) The compound of Claim 220, wherein R⁵ is para-OCH₂CH₂CHOHCH₂OH.

- 354. (New) The compound of Claim 220, wherein R^5 is para-OCH₂-(α -CHOH)₂CH₂OH.
- 355. (New) The compound of Claim 220, wherein R⁵ is para-OCH₂-(CHOH)₂CH₂OH.
 - 356. (New) The compound of Claim 220, wherein R⁵ is para-C(=O)NH₂.
 - 357. (New) The compound of Claim 220, which is the methane sulfonic acid salt.
- 358. (New) The compound of Claim 220, wherein R⁵ is para-O-CH₂-(C=O)NHCH₂CHOH.
- 359. (New) The compound of Claim 220, wherein R⁵ is para-O-CH₂-(C=O)NHCH₂CHOHCH₂OH.
- 360. (New) The compound of Claim 220, wherein R⁵ is para-O-CH₂(C=O)NHCH₂(CHOH)₂CH₂OH.
- 361. (New) The compound of Claim 220, wherein R⁵ is para-O-CH₂(C=O)NHSO₂CH₃.
- 362. (New) The compound of Claim 220, wherein R^5 is para-O-CH₂(C=O)NHCO₂CH₃.
- 363. (New) The compound of Claim 220, wherein R^5 is para-O-CH₂-(C=O)NH-C(C=O)NH₂.
- 364. (New) The compound of Claim 220, wherein R^5 is $-O-CH_2-(C=O)NH-(C=O)CH_3$.
 - 365. (New) The compound of Claim 220, wherein R⁵ is (CH₂)_n-(C=NH)-NH₂.

- 366. (New) The compound of Claim 220, wherein R⁵ is para-(C=NH)-NH₂.
- 367. (New) The compound of Claim 220, wherein R⁵ is (CH₂)_n-NH-C(=NH)-NH₂.
- 368. (New) The compound of Claim 220, wherein R⁵ is para-(CH₂)₃-NH-C(=NH)-NH₂.
 - 369. (New) The compound of Claim 220, wherein R⁵ is para-CH₂NH-C(=NH)-NH₂.
- 370. (New) The compound of Claim 220, wherein R^5 is $(CH_2)_n$ -CONHCH₂(CHOH)_n-CH₂OH.
- 371. (New) The compound of Claim 220, wherein R⁵ is NH-C(=O)-CH₂-(CHOH)_nCH₂OH.
- 372. (New) The compound of Claim 220, wherein R⁵ is -NH-(C=O)-NH-CH₂(CHOH)_nCHOH.
- 373. (New) The compound of Claim 220, wherein R⁵ is para-NH(C=O)NHCH₂CH₂OH.
- 374. (New) The compound of Claim 220, wherein R^5 is -O-(CH₂)_m-NH-C(=NH)-N(R^7)₂.
- 375. (New) The compound of Claim 220, wherein R^5 is para-O(CH₂)₃-NH-C(=NH)-NH₂.
- 376. (New) The compound of Claim 220, wherein R^5 is $-O-(CH_2)_m$ -CHNH₂-CONR⁷ R^{10} .
- 377. (New) The compound of Claim 220, wherein R⁵ is para-OCH₂-CHNH₂-CONH₂.
 - 378. (New) The compound of Claim 220, which is the (R) enantiomer.

379. (New) The compound of Claim 220, which is the (S) enantiomer.

380. (New) The compound of Claim 220, wherein R⁵ is para-OCH₂CHOH-CH₂NHCO₂C(CH₃)₃.

381. (New) The compound of Claim 220, wherein R⁵ is para-NHCH₂(CHOH)₂CH₂OH.

382. (New) The compound of Claim 220, wherein R⁵ is para-OCH₂CO₂C(CH₃)₃.

383. (New) The compound of Claim 220, wherein R⁵ is para-OCH₂CO₂H.

384. (New) The compound of Claim 220, wherein R⁵ is para-OCH₂CO₂C₂H₅.

385. (New) The compound of Claim 220, wherein

X is halogen;

Y is $-N(R^7)_2$;

 R^1 is hydrogen or C_1 - C_3 alkyl;

 R^2 is $-R^7$, $-(CH_2)_m$ -OR⁸, or $-(CH_2)_n$ -CO₂R⁷;

R³ is a group represented by formula (A); and

R⁴ is hydrogen, a group represented by formula (A), or lower alkyl.

386. (New) The compound of Claim 220, wherein

X is chloro or bromo;

Y is $-N(R^7)_2$;

R² is hydrogen or C₁-C₃ alkyl;

at most three R^6 are other than hydrogen as defined above; and at most three R^L are other than hydrogen as defined above.

387. (New) The compound of Claim 220, wherein Y is -NH₂.

388. (New) The compound of Claim 220, wherein R^4 is hydrogen; at most one R^L is other than hydrogen as defined above; and at most two R^6 are other than hydrogen as defined above.

- 389. (New) The compound of Claim 220, wherein R⁵ is
- -O-CH₂CHOHCH₂O-glucuronide,
- -OCH₂CO₂H,
- -NHCH₂(CHOH)₂-CH₂OH,
- -OCH₂CO₂Et,
- -NHSO₂CH₃,
- $-O-CH_2C(=O)NH_2$,
- -CH₂NH₂,
- -NHCO₂Et,
- -OCH₂CH₂CH₂CH₂OH,
- -CH₂NHSO₂CH₃,
- -OCH₂CH₂CHOHCH₂OH,
- -OCH₂CH₂NHCO₂Et,
- $-NH-C(=NH_2)-NH_2$,
- -CH₂CH-CH-CH₂OH,
- -CH₂-CHOH-CH₂-NHBoc,
- -O-CH₂-CHOH-CH₂-NHBoc,
- -OCH₂CH₂CH₂NH₂,
- -OCH₂CH₂NHCH₂(CHOH)₂CH₂OH,
- -OCH₂CH₂NH(CH₂[(CHOH)₂CH₂OH)]₂,
- -(CH₂)₄-NHBoc,
- $-(CH_2)_4-NH_2$
- -(CH₂)₄-OH,
- -OCH₂CH₂NHSO₂CH₃,
- -(CH₂)₃-NH Boc,
- -(CH₂)₃NH₂, or
- $-O-CH_2-CHOH-CH_2-NH-C(=NH)-N(R^7)_2$.

390. (New) The compound of Claim 220, wherein X is chloro or bromo; Y is $-N(R^7)_2$; R^1 is hydrogen or C_1 - C_3 alkyl; R^2 is hydrogen or C_1 - C_3 alkyl; R^3 is a group represented by formula (A); R^4 is hydrogen, a group represented by formula (A), or lower alkyl; at most three R^6 are other than hydrogen as defined above; and at most three R^L are other than hydrogen as defined above.

391. (New) The compound of Claim 288, wherein R^4 is hydrogen; at most one R^L is other than hydrogen as defined above; and at most two R^6 are other than hydrogen as defined above.

392. (New) The compound of Claim 289, wherein X is chloro or bromo; Y is -N(R⁷)₂; R¹ is hydrogen or C₁-C₃ alkyl; R² is hydrogen or C₁-C₃ alkyl; R³ is a group represented by formula (A); and R⁴ is hydrogen, a group represented by formula (A), or lower alkyl; at most three R⁶ are other than hydrogen as defined above; and at most three R^L are other than hydrogen as defined above.

393. (New) The compound of Claim 290, wherein R^4 is hydrogen; at most one R^L is other than hydrogen as defined above; and at most two R^6 are other than hydrogen as defined above.

394. (New) The compound of Claim 220, wherein x is a single bond.

395. (New) The compound of Claim 220, which is in the form of a pharmaceutically acceptable salt.

396. (New) The compound of Claim 270, which is the (R) enantiomer.

397. (New) The compound of Claim 270, which is the (S) enantiomer.